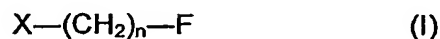


Claims

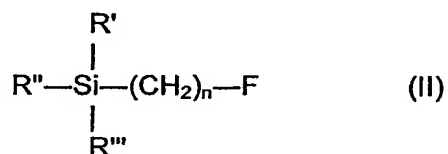
1. A process for preparation of a fluorohaloalkane of formula (I)

5



wherein X is halo and n is an integer of from 1 to 6; which comprises:
reaction of the corresponding organosilicon compound of formula (II):

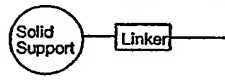
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15

wherein n is as defined for the compound of formula (I); and
R', R'', and R''' are independently selected from C₁₋₆ alkyl and C₁₋₆ haloalkyl; and
R'' may alternatively be the group:

20



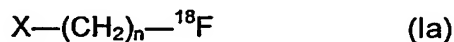
with a compound of formula (III):



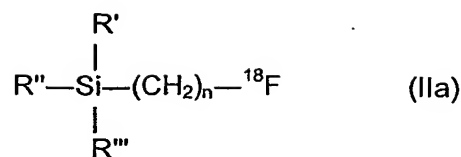
25 wherein X is as defined for the compound of formula (I) and Y is halo.

2. A process according to claim 1 for preparation of a [¹⁸F]fluorohaloalkane of formula (Ia)

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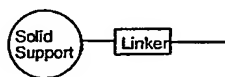


wherein X is halo and n is an integer of from 1 to 6; which comprises:
reaction of the corresponding organosilicon compound of formula (IIa):



5

wherein n is as defined for the compound of formula (Ia); and
 R', R'', and R''' are independently selected from C₁₋₆ alkyl and C₁₋₆ haloalkyl; and
 R'' may alternatively be the group:



10

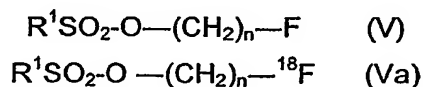
with a compound of formula (III):



15 wherein X is as defined for the compound of formula (Ia) and Y is halo.

3. A process according to claim 1 or 2 which comprises the further step:

- (i) isolation of the compound of formula (I) or (Ia); and/or
 20 (ii) conversion of the compound of formula (I) or (Ia) to a corresponding
 fluoroalkylsulphonyl ester of formula (V) or (Va) respectively:



25

wherein n is as defined for the compound of formula (I) or (Ia), and R¹ is selected
 from C₁₋₆ alkyl, C₁₋₆ perfluoroalkyl, aryl, tolyl, perfluoroaryl, and perfluorotolyl.

4. A process according to any one of claims 1 to 3 which comprises the further
 30 step:

- (i) use of the resulting compound of formula (I) or (Ia) in the preparation of a
 fluoroalkyl ligand or radiotracer, such as a [¹⁸F]fluoroalkylated radioligand or [¹⁸F]-
 radiotracer.

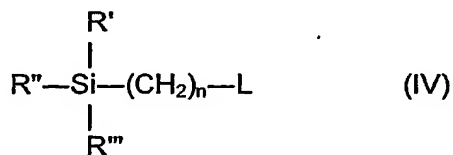
5. A process according to claim 4 wherein the radioligand or radiotracer prepared is selected from:

2-(1,1-dicyanopropen-2-yl)-6-(2-[¹⁸F]-fluoroC₁₋₆alkyl)-methylamino)naphthalene,
 3-(2'-[¹⁸F]fluoroC₁₋₆alkyl)piperone,
 [¹⁸F][2-fluoroC₁₋₆alkoxy-5-(5-trifluoromethyl-tetrazol-1-yl)-benzyl]-([2S,3S]-2-phenyl-
 piperidin-3-yl)-amine,
 2-beta-carbomethoxy-3-beta-(4-iodophenyl)-8-(3-[¹⁸F]fluoroC₁₋₆alkyl)-nortropane,
 [¹⁸F]fluoroC₁₋₆alkylflumazenil, and
 [¹⁸F]fluoroC₁₋₆alkyl-choline.

6. A process according to claim 4 or 5 wherein the [¹⁸F]fluoroalkylated radioligand prepared is selected from:

2-(1,1-dicyanopropen-2-yl)-6-(2-[¹⁸F]-fluoroethyl)-methylamino)naphthalene,
 3-(2'-[¹⁸F]fluoroethyl)piperone,
 [¹⁸F][2-fluoromethoxy-5-(5-trifluoromethyl-tetrazol-1-yl)-benzyl]-([2S,3S]-2-phenyl-
 piperidin-3-yl)-amine),
 2-beta-carbomethoxy-3-beta-(4-iodophenyl)-8-(3-[¹⁸F]fluoropropyl)-nortropane,
 [¹⁸F]fluoroethylflumazenil,
 [¹⁸F]fluoromethyl-choline, and
 [¹⁸F]fluoroethyl-choline).

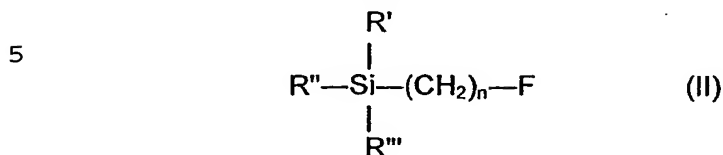
7. A process for the preparation of a compound of formula (II) or (IIa) as defined in claim 1 or 2 which comprises reaction of a compound of formula (IV):



wherein n, R', R'', and R''' are as defined for the compound of formula (II) or (IIa), and L is a leaving group;

with a source of F^- , preferably $^{18}F^-$ in the presence of a phase transfer catalyst.

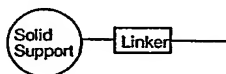
8. A compound of formula (II):



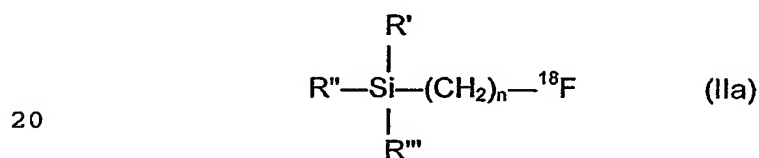
10 wherein n is an integer of from 1 to 6; and

R' and R''' are independently selected from C₁₋₆ alkyl and C₁₋₆ haloalkyl; and

R'' is the group:



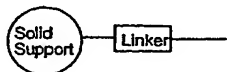
15 9. A compound of formula (IIa):



wherein n is an integer of from 1 to 6; and

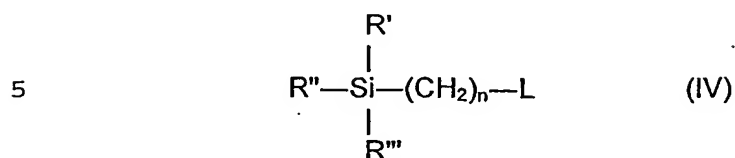
R', R'', and R''' are independently selected from C₁₋₆ alkyl and C₁₋₆ haloalkyl; and

25 R'' may alternatively be the group:



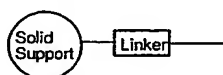
30

10. A compound of formula (IV):



wherein n is an integer of from 1 to 6;

10 R', R'', and R''' are independently selected from C₁₋₆ alkyl and C₁₋₆ haloalkyl; and R'' may alternatively be the group:



L is a group -OSO₂R² wherein R² is selected from C₁₋₆ alkyl, C₁₋₆ perfluoroalkyl, aryl, perfluoroaryl, tolyl, and perfluorotolyl;

15 provided that:

(a) when R'' is C₁₋₆ alkyl or C₁₋₆ haloalkyl, n is not 1; and

(b) when R'' is C₁₋₆ alkyl or C₁₋₆ haloalkyl and n is 2 to 6, L is not -OSO₂CH₃ or -OSO₂(*para*-methyl)phenyl.